## **CLAIM SUMMARY DOCUMENT**

Kindly cancel Claims 99-112 and 121-130 without prejudice or disclaimer.

99-117. Canceled.

118. (Currently Amended) A method for inhibiting  $\beta$ -amyloid peptide synthesis and/or release in a mammalian subject thereby inhibiting onset of diseases mediated by  $\beta$ -amyloid peptide which method comprises administering to said mammalian subject a pharmaceutical composition comprising a pharmaceutically inert carrier and an effective amount of a compound or a mixture of compounds of formula IA:

wherein R<sup>1</sup> is selected from the group consisting of:

- A) alkyl of from 1 to 10 carbon atoms;
- B) alkenyl of from 2 to 10 carbon atoms and 1-2 sites of alkenyl unsaturation;
- C) alkynyl of from 2 to 10 carbon atoms and from 1-2 sites of alkynyl unsaturation;
- D) cycloalkyl of from 3 to 12 carbon atoms;
- E) cycloalkenyl of from 4 to 8 carbon atoms;
- F) substituted alkyl of from 1 to 10 carbon atoms, having from 1 to 5 substituents selected from:
  - 1) alkoxy of from 1 to 10 carbon atoms;
  - 2) substituted alkoxy of the formula substituted alkyl-O- where substituted alkyl is as defined in F herein;
  - 3) cycloalkyl which is as defined in D herein;
  - 4) substituted cycloalkyl is defined in I herein;

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- 5) cycloalkenyl which is defined in E herein;
- 6) substituted cycloalkenyl which is defined in J herein;
- acyl selected from alkyl-C(O)-, substituted alkyl-C(O)-, cycloalkyl-C(O)-, substituted cycloalkyl-C(O)-, aryl-C(O)-, heteroaryl-C(O)- and heterocyclic-C(O)- wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein cycloalkyl is defined in D herein; wherein substituted cycloalkyl is defined in I herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;
- 8) acylamino having the formula -C(O)NRR where each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, or heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;
- 9) acyloxy selected from alkyl-C(O)O-, substituted alkyl-C(O)O-, cycloalkyl-C(O)O-, aryl-C(O)O-, heteroaryl-C(O)O-, and heterocyclic-C(O)O- wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein cycloalkyl is defined in D herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;
- 10) amino;
- aminoacyl having the formula -NRC(O)R wherein each R is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, and heterocyclic; wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;



- aminoacyloxy having the formula -NRC(O)OR wherein each R is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, and heterocyclic; wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;
- 13) cyano;
- 14) halogen;
- 15) hydroxyl;
- 16) carboxyl;
- 17) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
- 18) thiol;
- 19) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
- 20) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
- 21) aryl having from 6 to 14 ring carbon atoms, optionally substituted with from 1 to 5 substituents selected from the group consisting of:
  - a) hydroxy;
  - b) acyl as defined in F7 herein;
  - c) acyloxy as defined in F9 herein;
  - d) alkyl as defined in A herein;
  - e) substituted alkyl as defined in F herein;
  - f) alkoxy as defined in F1 herein;
  - g) substituted alkoxy as defined in F2 herein;
  - h) alkenyl as defined in B herein;
  - i) substituted alkenyl as defined in G herein;
  - j) alkynyl as defined in C herein;



- k) substituted alkynyl as defined in H herein;
- l) amino;
- m) aminoacyl as defined in F11 herein;
- n) acylamino as defined in F8 herein;
- o) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F21 herein;
- p) aryl as defined in F21 herein;
- q) aryloxy having the formula -O-aryl wherein aryl is defined in F21 herein;
- r) azido;
- s) carboxyl;
- t) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
- u) cyano;
- v) halo selected from fluoro, chloro, bromo and iodo;
- w) nitro;
- x) heteroaryl as defined in F22 herein;
- y) heterocyclic as defined in F23 herein;
- z) aminoacyloxy as defined in F12 herein;
- aa) oxyacylamino having the formula -OC(O)NRR where each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, or heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;
- bb) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;



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- cc) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
- dd) thioaryloxy having the formula -S-aryl wherein aryl is defined in F21 herein;
- ee) thioheteroaryloxy having the formula -S-heteroaryl wherein heteroaryl is defined F22 herein;
- ff) -SO-alkyl wherein alkyl is defined in A herein;
- gg) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
- hh) -SO-aryl wherein aryl is defined in F21 herein;
- ii) -SO-heteroaryl wherein heteroaryl is defined in F22 herein;
- ij) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
- kk) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
- 11) -SO<sub>2</sub>-aryl wherein aryl is defined in F21 herein;
- mm) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F22 herein;
- nn) trihalomethyl wherein halo is defined in I20 herein;
- oo) mono- and dialkylamino wherein alkyl is defined in A herein;
- pp) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
- qq) mono- and di-arylamino wherein aryl is defined in F21 herein;
- rr) mono- and di-heteroarylamino wherein heteroaryl is defined in F22 herein;
- ss) mono- and di-heterocyclicamino wherein heterocyclic is defined in F23 herein;
- tt) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is

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defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;

- heteroaryl of from 1 to 15 ring carbon atoms and 1 to 4 ring heteroatoms selected from oxygen, nitrogen and sulfur, optionally substituted with from 1 to 5 substituents selected from:
  - a) alkyl as defined in A herein;
  - b) substituted alkyl as defined in F herein;
  - c) alkoxy as defined in F1 herein;
  - d) substituted alkoxy as defined in F2 herein;
  - e) aryl as defined in F21 herein;
  - f) aryloxy having the formula -O-aryl wherein aryl is defined in F21 herein;
  - g) halo selected from fluoro, chloro, bromo and iodo;
  - h) nitro;
  - i) heteroaryl as defined in F22 herein;
  - j) thiol;
  - k) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
  - substituted thioalkoxy having the formula -S-substituted alkyl,
    wherein substituted alkyl is defined in F herein;
  - m) thioaryloxy having the formula -S-aryl wherein aryl is defined in F21 herein; and
  - n) trihalomethyl wherein halo is defined in I20 herein;
- 23) heterocyclic of from 1 to 15 ring carbon atoms and from 1 to 4 ring atoms selected from nitrogen, sulfur and oxygen, optionally substituted with from 1 to 5 substituents selected from:
  - a) alkyl as defined in A herein;
  - b) substituted alkyl as defined in F herein;
  - c) alkoxy as defined in F1 herein;



- d) substituted alkoxy as defined in F2 herein;
- e) aryl as defined in F21 herein;
- f) aryloxy having the formula -O-aryl wherein aryl is defined in F21 herein;
- g) halo selected from fluoro, chloro, bromo and iodo;
- h) nitro;
- i) heteroaryl as defined in F22 herein;
- j) thiol;
- k) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
- l) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
- m) thioaryloxy having the formula -S-aryl wherein aryl is defined in F21 herein; and
- n) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- 24) aryloxy of the formula -O-aryl wherein aryl is defined in F21 herein;
- 25) heteroaryloxy of the formula -O-heteroaryl wherein heteroaryl is defined in F22 herein;
- 26) hydroxyamino;
- 27) alkoxyamino wherein alkoxy is defined in F1 herein;
- 28) nitro;
- 29) -SO-alkyl wherein alkyl is defined in A herein;
- 30) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
- 31) -SO-aryl wherein aryl is defined in F21 herein;
- 32) -SO-heteroaryl wherein heteroaryl is defined in F22 herein;
- 33) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
- 34) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
- 35) -SO<sub>2</sub>-aryl wherein aryl is defined in F21 herein;

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- 36) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F22 herein;
- 37) mono- and dialkylamino wherein alkyl is defined in A herein;
- 38) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
- 39) mono- and di-arylamino wherein aryl is defined in F21 herein;
- 40) mono- and di-heteroarylamino wherein heteroaryl is defined in F22 herein;
- 41) mono- and di-heterocyclicamino wherein heterocyclic is defined in F23 herein;
- unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;
- G) substituted alkenyl having from 1 to 3 substituents selected from the group consisting of:
  - 1) alkoxy as defined in F1 herein;
  - 2) substituted alkoxy as defined in F2 herein;
  - 3) acyl as defined in F7 herein;
  - 4) acylamino as defined in F8 herein;
  - 5) acyloxy as defined in F9 herein;
  - 6) amino;
  - 7) aminoacyl as defined in F11 herein;
  - 8) aminoacyloxy as defined in F12 herein;
  - 9) cyano;
  - 10) halogen selected from fluoro, chloro, bromo and iodo;
  - 11) hydroxyl;
  - 12) carboxyl;

- 13) carboxylalkyl as defined in F17 herein;
- 14) thiol;
- 15) thioalkoxy as defined in F19 herein;
- 16) substituted thioalkoxy as defined in F20 herein;
- 17) aryl as defined in F21 herein;
- 18) heteroaryl as defined in F22 herein;
- 19) heterocyclic as defined in F2 herein;
- 20) nitro;
- 21) -SO-alkyl wherein alkyl is defined in A herein;
- 22) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
- 23) -SO-aryl wherein aryl is defined in F21 herein;
- -SO-heteroaryl wherein heteroaryl is defined in F22 herein;
- 25) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
- 26) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
- 27) -SO<sub>2</sub>-aryl wherein aryl is defined in F21 herein;
- 28) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F22 herein;
- 29) mono- and dialkylamino wherein alkyl is defined in A herein;
- 30) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
- 31) mono- and di-arylamino wherein aryl is defined in F21 herein;
- 32) mono- and di-heteroarylamino wherein heteroaryl is defined in F22 herein:
- mono- and di-heterocyclicamino wherein heterocyclic is defined in F23 herein; and
- unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein;



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wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;

- H) substituted alkynyl of from 1 to 3 substituents selected from:
  - 1) alkoxy as defined in F1 herein;
  - 2) substituted alkoxy as defined in F2 herein;
  - 3) acyl as defined in F7 herein;
  - 4) acylamino as defined in F8 herein;
  - 5) acyloxy as defined in F9 herein;
  - 6) amino;
  - 7) aminoacyl as defined in F11 herein;
  - 8) aminoacyloxy as defined in F12 herein;
  - 9) cyano;
  - 10) halogen selected from fluoro, chloro, bromo and iodo;
  - 11) hydroxyl;
  - 12) carboxyl;
  - 13) carboxylalkyl as defined in F17 herein;
  - 14) thiol;
  - 15) thioalkoxy as defined in F19 herein;
  - 16) substituted thioalkoxy as defined in F20 herein;
  - 17) aryl as defined in F21 herein;
  - 18) heteroaryl as defined in F22 herein;
  - 19) heterocyclic as defined in F23 herein;
  - 20) nitro;
  - 21) -SO-alkyl wherein alkyl is defined in A herein;
  - 22) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
  - 23) -SO-aryl wherein aryl is defined in F21 herein;
  - 24) -SO-heteroaryl wherein heteroaryl is defined in F22 herein;
  - 25) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
  - 26) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;

- 27) -SO<sub>2</sub>-aryl wherein aryl is defined in F21 herein;
- 28) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F22 herein;
- 29) mono- and dialkylamino wherein alkyl is defined in A herein;
- 30) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
- 31) mono- and di-arylamino wherein aryl is defined in F21 herein;
- 32) mono- and di-heteroarylamino wherein heteroaryl is defined in F22 herein:
- mono- and di-heterocyclicamino wherein heterocyclic is defined in F23 herein; and
- unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;
- I) substituted cycloalkyl having 3 to 12 carbon atoms and from 1 to 5 substituents selected from the group consisting of:
  - 1) hydroxy;
  - 2) acyl as defined in F7 herein;
  - 3) acyloxy as defined in F9 herein;
  - 4) alkyl as defined in A herein;
  - 5) substituted alkyl as defined in F herein;
  - 6) alkoxy as defined in F1 herein;
  - 7) substituted alkoxy as defined in F2 herein;
  - 8) alkenyl as defined in B herein;
  - 9) substituted alkenyl as defined in G herein;
  - 10) alkynyl as defined in C herein;
  - 11) substituted alkynyl as defined in H herein;

- 12) amino;
  - 13) aminoacyl as defined in F11 herein;
  - alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F21 herein;
  - 15) aryl as defined in F21 herein;
  - aryloxy having the formula -O-aryl wherein aryl is defined in F21 herein;
  - 17) carboxyl;
  - 18) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
  - 19) cyano;
  - 20) halo selected from fluoro, chloro, bromo and iodo;
  - 21) nitro;
  - 22) heteroaryl as defined in F22 herein;
  - 23) thioalkoxy as defined in F19 herein;
  - 24) substituted thioalkoxy as defined in F20 herein; and
  - trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- J) substituted cycloalkenyl having from 4 to 8 carbon atoms and from 1 to 5 substituents selected from the group consisting of:
  - 1) hydroxy;
  - 2) acyl as defined in F7 herein;
  - 3) acyloxy as defined in F9 herein;
  - 4) alkyl as defined in A herein;
  - 5) substituted alkyl as defined in F herein;
  - 6) alkoxy as defined in F1 herein;
  - 7) substituted alkoxy as defined in F2 herein;
  - 8) alkenyl as defined in B herein;
  - 9) substituted alkenyl as defined in G herein;





- 10) alkynyl as defined in C herein;
- 11) substituted alkynyl as defined in H herein;
- 12) amino;
- 13) aminoacyl as defined in F11 herein;
- alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F21 herein;
- 15) aryl as defined in F21 herein;
- aryloxy having the formula -O-aryl wherein aryl is defined in F21 herein;
- 17) carboxyl;
- 18) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
- 19) cyano;
- 20) halo selected from fluoro, chloro, bromo and iodo;
- 21) nitro;
- 22) heteroaryl as defined in F22 herein;
- 23) thioalkoxy as defined in F19 herein;
- 24) substituted thioalkoxy as defined in F20 herein; and
- trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- K) aryl as defined in F21 herein;
- L) heteroaryl as defined in F22 herein; and
- M) heterocyclic as defined in F23 herein;

Z' is represented by the formula -CX'X"-, -T-CH<sub>2</sub>- or -T-C(O)- where T is selected from the group consisting of oxygen, sulfur, -NR<sup>5</sup> where R<sup>5</sup> is:

- N) hydrogen;
  - O) acyl as defined in F7 herein;
  - P) alkyl as defined in A herein;
  - Q) aryl as defined in F21 herein; or
  - R) heteroaryl as defined in F22 herein;

X' is hydrogen, hydroxy or fluoro; X'' is hydrogen, hydroxy or fluoro, or X' and X'' together form an oxo group;

 $R^2$  is selected from the group consisting of:

- S) alkyl as defined in A herein;
- T) alkenyl as defined in B herein;
- U) alkynyl as defined in C herein;
- V) substituted alkyl as defined in F herein;
- W) substituted alkenyl as defined in G herein;
- X) substituted alkynyl as defined in H herein;
- Y) cycloalkyl as defined in D herein;
- Z) aryl as defined in F21 herein;
- AA) heteroaryl as defined in F22 herein;
- BB) heterocyclic as defined in F23 herein;
- BB<sup>1</sup>) 2-aminopyrid-6-yl;
- BB<sup>2</sup>) 2-methylcyclopentyl;
- BB<sup>3</sup>) cyclohex-2-enyl; and
- $BB^4$ ) -(CH<sub>2</sub>)<sub>4</sub>NHC(O)OC(CH<sub>3</sub>)<sub>3</sub>

W, together with  $-C(H)_{p}C(=X)$ -, forms a:

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- CC) cycloalkyl as defined in D herein;
- DD) cycloalkenyl as defined in E herein;
- EE) heterocyclic as defined in F23 herein;
- FF) substituted cycloalkyl as defined in I herein; or
- GG) substituted cycloalkenyl group as defined in J herein;

wherein each of said cycloalkyl, cycloalkenyl, heterocyclic, substituted cycloalkyl or substituted cycloalkenyl group is optionally fused to form a bi- or multi-fused ring system with one or more ring structures selected from the group consisting of:

- HH) cycloalkyl as defined in D herein;
- II) cycloalkenyl as defined in E herein;
- JJ) heterocyclic as defined in F23 herein;
- KK) aryl as defined in F21 herein; and
- LL) heteroaryl as defined in F22 herein;

which, in turn, each of such ring structures is optionally substituted with 1 to 4 substituents selected from the group consisting of:

- MM) hydroxyl;
- NN) halo as defined in F21 herein:
- OO) alkoxy as defined in F1 herein;
- PP) substituted alkoxy as defined in F2 herein;
- QQ) thioalkoxy as defined in F19 herein;
- RR) substituted thioalkoxy as defined in F20 herein;
- SS) nitro;
- TT) cyano;
- UU) carboxyl;
- VV) carboxyl esters;
- WW) alkyl as defined in A herein;
- XX) substituted alkyl as defined in F herein;
- YY) alkenyl as defined in B herein;

- ZZ) substituted alkenyl as defined in G herein;
- AAA) alkynyl as defined in C herein;
- BBB) substituted alkynyl as defined in H herein;
- CCC) amino:
- DDD) N-alkyl amino wherein alkyl is defined in A herein;
- EEE) N,N-dialkyl amino wherein alkyl is defined in A herein;
- FFF) N-substituted alkylamino wherein alkyl is defined in A herein;
- GGG) N-alkyl N-substituted alkylamino wherein alkyl is defined in A herein;
- HHH) N,N-disubstituted alkyl amino;
- III) -NHC(O)R<sup>4</sup> where each R<sup>4</sup> is independently selected from the group consisting of:
  - 1) alkyl as defined in A herein;
  - 2) substituted alkyl as defined in F herein;
  - 3) aryl as defined in F21 herein;
- JJJ) -NHSO<sub>2</sub>R<sup>4</sup> wherein R<sup>4</sup> is defined in III herein;
- KKK) -C(O)NH<sub>2</sub>;
- LLL) -C(O)NHR<sup>4</sup> where R<sup>4</sup> is defined in III herein;
- MMM) -C(O)NR<sup>4</sup>R<sup>4</sup> where R<sup>4</sup> is defined in III herein;
- NNN) -S(O)R<sup>4</sup> where R<sup>4</sup> is defined in III herein;
- OOO) -S(O)<sub>2</sub>R<sup>4</sup> where R<sup>4</sup> is defined in III herein;
- PPP) -S(O)<sub>2</sub>NHR<sup>4</sup> where R<sup>4</sup> is defined in III herein; and
- OOO) -S(O)<sub>2</sub>NR<sup>4</sup>R<sup>4</sup> where R<sup>4</sup> is defined in III herein;

X is selected from the group consisting of oxo (=O), thiooxo (=S), hydroxyl (-H, -OH), thiol (H, -SH) and hydro (H, H);

p is an integer equal to 0 or 1 such that when p is zero, the ring defined by W and  $-C(H)_pC(=X)$ - is unsaturated at the carbon atom of ring attachment to NH and when p is one, the ring is saturated at the carbon atom of ring attachment to NH;

or pharmaceutically acceptable salts thereof;

with the following provisos:

RRR. when  $R^1$  is 3,5-difluorophenyl,  $R^2$  is -CH<sub>3</sub>, Z' is -CH<sub>2</sub>-, and p is 1, then W, together with >CH and >C=X, does not form a 2-(S)-indanol group;

SSS. when  $R^1$  is phenyl,  $R^2$  is  $-CH_3$ , Z' is  $-CH_2$ -, p is 1, then W, together with > CH and > C = X, does not form a trans-2-hydroxy-cyclohex-1-yl group;

TTT. when  $R^1$  is cyclopropyl,  $R^2$  is  $-CH_3$ , Z' is  $-CH_2$ -, and p is 1, then W, together with >CH and >C=X, does not form an N-methylcaprolactam group;

UUU. when  $R^1$  is 4-chlorobenzoyl- $CH_{2^-}$ ,  $R^2$  is  $-CH_3$ , Z' is  $-CH_{2^-}$ , and p is 1, then W, together with > CH and > C=X, does not form an 2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one;

VVV. when  $R^1$  is 2-phenylphenyl,  $R^2$  is  $-CH_3$ , Z' is  $-CH_2$ -, and p is 1, then W, together with >CH and >C=X, does not form an 7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one;

WWW. when  $R^1$  is  $CH_3OC(O)CH_2$ -,  $R^2$  is  $-CH_3$ , Z' is  $-CH_2$ -, and p is 1, then W, together with > CH and > C = X, does not form an 2,3-dihydro-1-(t-butylC(O)CH<sub>2</sub>-)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

XXX. when R<sup>1</sup> is 4-ethoxyphenyl, 2,4,6-trimethylphenyl, 4-phenylphenyl, CH<sub>3</sub>OC(O)CH<sub>2</sub>-, 4-HOCH<sub>2</sub>-phenyl, 2,4,6-trifluorophenyl,

2-trifluoromethyl-4-fluorophenyl, or  $CH_3S$ -,  $R^2$  is  $-CH_3$ , Z' is  $-CH_2$ -, and p is 1, then W, together with >CH and >C=X, does not form a 2,3-dihydro-1-(N,N-diethylamino- $CH_2CH_2$ -)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

YYY. when  $R^1$  is 2,6-difluorophenyl,  $R^2$  is -CH<sub>3</sub>, Z' is -CH(OH)-, and p is 1, then W, together with >CH and >C=X, does not form a 2,3-dihydro-1-(N,N-diethylamino-CH<sub>2</sub>CH<sub>2</sub>-)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one; and

ZZZ. when the ring defined by W and  $-C(H)_pC(=X)$ - forms a cycloalkyl, then it does not form a cycloalkyl of from 3 to 8 carbon atoms optionally substituted with 1 to 3 alkyl groups.

119. (Currently Amended) A method for inhibiting  $\beta$ -amyloid peptide synthesis and/or release in a human subject thereby inhibiting onset of diseases mediated by  $\beta$ -amyloid peptide which method comprises administering to said human subject a pharmaceutical composition comprising a pharmaceutically inert carrier and an effective amount of a compound or a mixture of compounds of formula IA:

wherein R<sup>1</sup> is selected from the group consisting of:

- A) alkyl of from 1 to 10 carbon atoms;
- B) alkenyl of from 2 to 10 carbon atoms and 1-2 sites of alkenyl unsaturation;
- C) alkynyl of from 2 to 10 carbon atoms and from 1-2 sites of alkynyl unsaturation;
- D) cycloalkyl of from 3 to 12 carbon atoms;
- E) cycloalkenyl of from 4 to 8 carbon atoms;
- F) substituted alkyl of from 1 to 10 carbon atoms, having from 1 to 5 substituents selected from:
  - 1) alkoxy of from 1 to 10 carbon atoms;
  - 2) substituted alkoxy of the formula substituted alkyl-O- where substituted alkyl is as defined in F herein;
  - 3) cycloalkyl which is as defined in D herein;
  - 4) substituted cycloalkyl is defined in I herein;
  - 5) cycloalkenyl which is defined in E herein;
  - 6) substituted cycloalkenyl which is defined in J herein;
  - 7) acyl selected from alkyl-C(O)-, substituted alkyl-C(O)-,

- cycloalkyl-C(O)-, substituted cycloalkyl-C(O)-, aryl-C(O)-, heteroaryl-C(O)- and heterocyclic-C(O)- wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein cycloalkyl is defined in D herein; wherein substituted cycloalkyl is defined in I herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;
- acylamino having the formula -C(O)NRR where each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, or heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;
- 9) acyloxy selected from alkyl-C(O)O-, substituted alkyl-C(O)O-, cycloalkyl-C(O)O-, aryl-C(O)O-, heteroaryl-C(O)O-, and heterocyclic-C(O)O- wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein cycloalkyl is defined in D herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;
- 10) amino;
- aminoacyl having the formula -NRC(O)R wherein each R is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, and heterocyclic; wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;
- aminoacyloxy having the formula -NRC(O)OR wherein each R is independently selected from the group consisting of hydrogen, alkyl,

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substituted alkyl, aryl, heteroaryl, and heterocyclic; wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;

- 13) cyano;
- 14) halogen;
- 15) hydroxyl;
- 16) carboxyl;
- 17) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
- 18) thiol;
- 19) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
- 20) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
- 21) aryl having from 6 to 14 ring carbon atoms, optionally substituted with from 1 to 5 substituents selected from the group consisting of:
  - a) hydroxy;
  - b) acyl as defined in F7 herein;
  - c) acyloxy as defined in F9 herein;
  - d) alkyl as defined in A herein;
  - e) substituted alkyl as defined in F herein;
  - f) alkoxy as defined in F1 herein;
  - g) substituted alkoxy as defined in F2 herein;
  - h) alkenyl as defined in B herein;
  - i) substituted alkenyl as defined in G herein;
  - j) alkynyl as defined in C herein;
  - k) substituted alkynyl as defined in H herein;
  - 1) amino;



- m) aminoacyl as defined in F11 herein;
- n) acylamino as defined in F8 herein;
- o) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F21 herein;
- p) aryl as defined in F21 herein;
- q) aryloxy having the formula -O-aryl wherein aryl is defined in F21 herein;
- r) azido;
- s) carboxyl;
- t) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
- u) cyano;
- v) halo selected from fluoro, chloro, bromo and iodo;
- w) nitro;
- x) heteroaryl as defined in F22 herein;
- y) heterocyclic as defined in F23 herein;
- z) aminoacyloxy as defined in F12 herein;
- aa) oxyacylamino having the formula -OC(O)NRR where each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, or heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;
- bb) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
- cc) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;

- dd) thioaryloxy having the formula -S-aryl wherein aryl is defined in F21 herein;
- ee) thioheteroaryloxy having the formula -S-heteroaryl wherein heteroaryl is defined F22 herein;
- ff) -SO-alkyl wherein alkyl is defined in A herein;
- gg) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
- hh) -SO-aryl wherein aryl is defined in F21 herein;
- ii) -SO-heteroaryl wherein heteroaryl is defined in F22 herein;
- jj) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
- kk) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
- 11) -SO<sub>2</sub>-aryl wherein aryl is defined in F21 herein;
- mm) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F22 herein;
- nn) trihalomethyl wherein halo is defined in I20 herein;
- oo) mono- and dialkylamino wherein alkyl is defined in A herein;
- pp) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
- qq) mono- and di-arylamino wherein aryl is defined in F21 herein;
- rr) mono- and di-heteroarylamino wherein heteroaryl is defined in F22 herein;
- ss) mono- and di-heterocyclicamino wherein heterocyclic is defined in F23 herein;
- tt) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;



- heteroaryl of from 1 to 15 ring carbon atoms and 1 to 4 ring heteroatoms selected from oxygen, nitrogen and sulfur, optionally substituted with from 1 to 5 substituents selected from:
  - a) alkyl as defined in A herein;
  - b) substituted alkyl as defined in F herein;
  - c) alkoxy as defined in F1 herein;
  - d) substituted alkoxy as defined in F2 herein;
  - e) aryl as defined in F21 herein;
  - f) aryloxy having the formula -O-aryl wherein aryl is defined in F21 herein;
  - g) halo selected from fluoro, chloro, bromo and iodo;
  - h) nitro;
  - i) heteroaryl as defined in F22 herein;
  - j) thiol;
  - k) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
  - l) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
  - m) thioaryloxy having the formula -S-aryl wherein aryl is defined in F21 herein; and
  - n) trihalomethyl wherein halo is defined in I20 herein;
- 23) heterocyclic of from 1 to 15 ring carbon atoms and from 1 to 4 ring atoms selected from nitrogen, sulfur and oxygen, optionally substituted with from 1 to 5 substituents selected from:
  - a) alkyl as defined in A herein;
  - b) substituted alkyl as defined in F herein;
  - c) alkoxy as defined in F1 herein;
  - d) substituted alkoxy as defined in F2 herein;
  - e) aryl as defined in F21 herein;



- f) aryloxy having the formula -O-aryl wherein aryl is defined in F21 herein;
- g) halo selected from fluoro, chloro, bromo and iodo;
- h) nitro;
- i) heteroaryl as defined in F22 herein;
- j) thiol;
- k) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
- l) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
- m) thioaryloxy having the formula -S-aryl wherein aryl is defined in F21 herein; and
- n) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- 24) aryloxy of the formula -O-aryl wherein aryl is defined in F21 herein;
- 25) heteroaryloxy of the formula -O-heteroaryl wherein heteroaryl is defined in F22 herein;
- 26) hydroxyamino;
- 27) alkoxyamino wherein alkoxy is defined in F1 herein;
- 28) nitro;
- 29) -SO-alkyl wherein alkyl is defined in A herein;
- 30) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
- 31) -SO-aryl wherein aryl is defined in F21 herein;
- 32) -SO-heteroaryl wherein heteroaryl is defined in F22 herein;
- 33) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
- 34) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
- 35) -SO<sub>2</sub>-aryl wherein aryl is defined in F21 herein;
- 36) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F22 herein;
- 37) mono- and dialkylamino wherein alkyl is defined in A herein;

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- 38) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
- 39) mono- and di-arylamino wherein aryl is defined in F21 herein;
- 40) mono- and di-heteroarylamino wherein heteroaryl is defined in F22 herein;
- 42) mono- and di-heterocyclicamino wherein heterocyclic is defined in F23 herein;
- 43) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;
- G) substituted alkenyl having from 1 to 3 substituents selected from the group consisting of:
  - 1) alkoxy as defined in F1 herein;
  - 2) substituted alkoxy as defined in F2 herein;
  - 3) acyl as defined in F7 herein;
  - 4) acylamino as defined in F8 herein;
  - 5) acyloxy as defined in F9 herein;
  - 6) amino;
  - 7) aminoacyl as defined in F11 herein;
  - 8) aminoacyloxy as defined in F12 herein;
  - 9) cyano;
  - 10) halogen selected from fluoro, chloro, bromo and iodo;
  - 11) hydroxyl;
  - 12) carboxyl;
  - 13) carboxylalkyl as defined in F17 herein;
  - 14) thiol;

- 15) thioalkoxy as defined in F19 herein;
- 16) substituted thioalkoxy as defined in F20 herein;
- 17) aryl as defined in F21 herein;
- 18) heteroaryl as defined in F22 herein;
- 19) heterocyclic as defined in F23 herein;
- 20) nitro;
- 21) -SO-alkyl wherein alkyl is defined in A herein;
- -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
- 23) -SO-aryl wherein aryl is defined in F21 herein;
- 24) -SO-heteroaryl wherein heteroaryl is defined in F22 herein;
- 25) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
- 26) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
- 27) -SO<sub>2</sub>-aryl wherein aryl is defined in F21 herein;
- 28) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F22 herein;
- 29) mono- and dialkylamino wherein alkyl is defined in A herein;
- 30) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
- 31) mono- and di-arylamino wherein aryl is defined in F21 herein;
- 32) mono- and di-heteroarylamino wherein heteroaryl is defined in F22 herein;
- 33) mono- and di-heterocyclicamino wherein heterocyclic is defined in F23 herein; and
- unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;
- H) substituted alkynyl of from 1 to 3 substituents selected from:



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- 1) alkoxy as defined in F1 herein;
- 2) substituted alkoxy as defined in F2 herein;
- 3) acyl as defined in F7 herein;
- 4) acylamino as defined in F8 herein;
- 5) acyloxy as defined in F9 herein;
- 6) amino;
- 7) aminoacyl as defined in F11 herein;
- 8) aminoacyloxy as defined in F12 herein;
- 9) cyano;
- 10) halogen selected from fluoro, chloro, bromo and iodo;
- 11) hydroxyl;
- 12) carboxyl;
- 13) carboxylalkyl as defined in F17 herein;
- 14) thiol;
- 15) thioalkoxy as defined in F19 herein;
- 16) substituted thioalkoxy as defined in F20 herein;
- 17) aryl as defined in F21 herein;
- 18) heteroaryl as defined in F22 herein;
- 19) heterocyclic as defined in F23 herein;
- 20) nitro;
- 21) -SO-alkyl wherein alkyl is defined in A herein;
- 22) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
- 23) -SO-aryl wherein aryl is defined in F21 herein;
- -SO-heteroaryl wherein heteroaryl is defined in F22 herein;
- 25) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
- 26) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
- 27) -SO<sub>2</sub>-aryl wherein aryl is defined in F21 herein;
- 28) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F22 herein;
- 29) mono- and dialkylamino wherein alkyl is defined in A herein;

- 31) mono- and di-arylamino wherein aryl is defined in F21 herein;
- 32) mono- and di-heteroarylamino wherein heteroaryl is defined in F22 herein;
- mono- and di-heterocyclicamino wherein heterocyclic is defined in F23 herein; and
- unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heterocyclic is defined in F23 herein;
- substituted cycloalkyl having 3 to 12 carbon atoms and from 1 to 5
  substituents selected from the group consisting of:
  - 1) hydroxy;
  - 2) acyl as defined in F7 herein;
  - 3) acyloxy as defined in F9 herein;
  - 4) alkyl as defined in A herein;
  - 5) substituted alkyl as defined in F herein;
  - 6) alkoxy as defined in F1 herein;
  - 7) substituted alkoxy as defined in F2 herein;
  - 8) alkenyl as defined in B herein;
  - 9) substituted alkenyl as defined in G herein;
  - 10) alkynyl as defined in C herein;
  - 11) substituted alkynyl as defined in H herein;
  - 12) amino;
  - 13) aminoacyl as defined in F11 herein;



- alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F21 herein;
- 15) aryl as defined in F21 herein;
- aryloxy having the formula -O-aryl wherein aryl is defined in F21 herein;
- 17) carboxyl;
- 18) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
- 19) cyano;
- 20) halo selected from fluoro, chloro, bromo and iodo;
- 21) nitro;
- 22) heteroaryl as defined in F22 herein;
- 23) thioalkoxy as defined in F19 herein;
- 24) substituted thioalkoxy as defined in F20 herein; and
- 25) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- J) substituted cycloalkenyl having from 4 to 8 carbon atoms and from 1 to 5 substituents selected from the group consisting of:
  - 1) hydroxy;
  - 2) acyl as defined in F7 herein;
  - 3) acyloxy as defined in F9 herein;
  - 4) alkyl as defined in A herein;
  - 5) substituted alkyl as defined in F herein;
  - 6) alkoxy as defined in F1 herein;
  - 7) substituted alkoxy as defined in F2 herein;
  - 8) alkenyl as defined in B herein;
  - 9) substituted alkenyl as defined in G herein;
  - 10) alkynyl as defined in C herein;
  - 11) substituted alkynyl as defined in H herein;



- 12) amino;
- 13) aminoacyl as defined in F11 herein;
- alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F21 herein;
- 15) aryl as defined in F21 herein;
- aryloxy having the formula -O-aryl wherein aryl is defined in F21 herein;
- 17) carboxyl;
- 18) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
- 19) cyano;
- 20) halo selected from fluoro, chloro, bromo and iodo;
- 21) nitro;
- 22) heteroaryl as defined in F22 herein;
- 23) thioalkoxy as defined in F19 herein;
- 24) substituted thioalkoxy as defined in F20 herein; and
- 25) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- K) aryl as defined in F21 herein;
- L) heteroaryl as defined in F22 herein; and
- M) heterocyclic as defined in F23 herein;

Z' is represented by the formula -CX'X"-, -T-CH<sub>2</sub>- or -T-C(O)- where T is selected from the group consisting of oxygen, sulfur, -NR<sup>5</sup> where R<sup>5</sup> is:

- N) hydrogen;
- O) acyl as defined in F7 herein;
- P) alkyl as defined in A herein;
- Q) aryl as defined in F21 herein; or
- R) heteroaryl as defined in F22 herein;

X' is hydrogen, hydroxy or fluoro; X'' is hydrogen, hydroxy or fluoro, or X' and X'' together form an oxo group;

R<sup>2</sup> is selected from the group consisting of:

- S) alkyl as defined in A herein;
- T) alkenyl as defined in B herein;
- U) alkynyl as defined in C herein;
- V) substituted alkyl as defined in F herein;
- W) substituted alkenyl as defined in G herein;
- X) substituted alkynyl as defined in H herein;
- Y) cycloalkyl as defined in D herein;
- Z) aryl as defined in F21 herein;
- AA) heteroaryl as defined in F22 herein;
- BB) heterocyclic as defined in F23 herein;
- BB<sup>1</sup>) 2-aminopyrid-6-yl;
- BB<sup>2</sup>) 2-methylcyclopentyl;
- BB<sup>3</sup>) cyclohex-2-enyl; and
- $BB^4$ ) -( $CH_2$ )<sub>4</sub>NHC(O)OC( $CH_3$ )<sub>3</sub>

- CC) cycloalkyl as defined in D herein;
- DD) cycloalkenyl as defined in E herein;
- EE) heterocyclic as defined in F23 herein;
- FF) substituted cycloalkyl as defined in I herein; or
- GG) substituted cycloalkenyl group as defined in J herein;

wherein each of said cycloalkyl, cycloalkenyl, heterocyclic, substituted cycloalkyl or substituted cycloalkenyl group is optionally fused to form a bi- or multi-fused ring system with one or more ring structures selected from the group consisting of:

- HH) cycloalkyl as defined in D herein;
- II) cycloalkenyl as defined in E herein;
- JJ) heterocyclic as defined in F23 herein;
- KK) aryl as defined in F21 herein; and
- LL) heteroaryl as defined in F22 herein;

which, in turn, each of such ring structures is optionally substituted with 1 to 4 substituents selected from the group consisting of:

- MM) hydroxyl;
- NN) halo as defined in F21 herein;
- OO) alkoxy as defined in F1 herein;
- PP) substituted alkoxy as defined in F2 herein;
- QQ) thioalkoxy as defined in F19 herein;
- RR) substituted thioalkoxy as defined in F20 herein;
- SS) nitro;
- TT) cyano;
- UU) carboxyl;
- VV) carboxyl esters;
- WW) alkyl as defined in A herein;
- XX) substituted alkyl as defined in F herein;
- YY) alkenyl as defined in B herein;

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- ZZ) substituted alkenyl as defined in G herein;
- AAA) alkynyl as defined in C herein;
- BBB) substituted alkynyl as defined in H herein;
- CCC) amino;
- DDD) N-alkyl amino wherein alkyl is defined in A herein;
- EEE) N,N-dialkyl amino wherein alkyl is defined in A herein;
- FFF) N-substituted alkylamino wherein alkyl is defined in A herein;
- GGG) N-alkyl N-substituted alkylamino wherein alkyl is defined in A herein;
- HHH) N,N-disubstituted alkyl amino;
- III) -NHC(O)R<sup>4</sup> where each R<sup>4</sup> is independently selected from the group consisting of:
  - 1) alkyl as defined in A herein;
  - 2) substituted alkyl as defined in F herein;
  - 3) aryl as defined in F21 herein;
- JJJ) -NHSO<sub>2</sub>R<sup>4</sup> wherein R<sup>4</sup> is defined in III herein;
- KKK)  $-C(O)NH_2$ ;
- LLL) -C(O)NHR<sup>4</sup> where R<sup>4</sup> is defined in III herein;
- MMM) -C(O)NR<sup>4</sup>R<sup>4</sup> where R<sup>4</sup> is defined in III herein;
- NNN) -S(O)R<sup>4</sup> where R<sup>4</sup> is defined in III herein;
- OOO) -S(O)<sub>2</sub>R<sup>4</sup> where R<sup>4</sup> is defined in III herein;
- PPP) -S(O)<sub>2</sub>NHR<sup>4</sup> where R<sup>4</sup> is defined in III herein; and
- QQQ) -S(O)<sub>2</sub>NR<sup>4</sup>R<sup>4</sup> where R<sup>4</sup> is defined in III herein;

X is selected from the group consisting of oxo (=0), thiooxo (=S), hydroxyl (-H, -OH), thiol (H, -SH) and hydro (H, H);

p is an integer equal to 0 or 1 such that when p is zero, the ring defined by W and  $-C(H)_pC(=X)$ - is unsaturated at the carbon atom of ring attachment to NH and when p is one, the ring is saturated at the carbon atom of ring attachment to NH;

or pharmaceutically acceptable salts thereof;

with the following provisos:

RRR. when  $R^1$  is 3,5-difluorophenyl,  $R^2$  is -CH<sub>3</sub>, Z' is -CH<sub>2</sub>-, and p is 1, then W, together with >CH and >C=X, does not form a 2-(S)-indanol group;

SSS. when  $R^1$  is phenyl,  $R^2$  is  $-CH_3$ , Z' is  $-CH_2$ -, p is 1, then W, together with > CH and > C = X, does not form a trans-2-hydroxy-cyclohex-1-yl group;

TTT. when  $R^1$  is cyclopropyl,  $R^2$  is  $-CH_3$ , Z' is  $-CH_2$ -, and p is 1, then W, together with > CH and > C = X, does not form an N-methylcaprolactam group;

UUU. when  $R^1$  is 4-chlorobenzoyl- $CH_2$ -,  $R^2$  is - $CH_3$ , Z' is - $CH_2$ -, and p is 1, then W, together with > CH and > C=X, does not form an 2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one;

VVV. when  $R^1$  is 2-phenylphenyl,  $R^2$  is  $-CH_3$ , Z' is  $-CH_2$ -, and p is 1, then W, together with >CH and >C=X, does not form an 7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one;

WWW. when  $R^1$  is  $CH_3OC(O)CH_2$ -,  $R^2$  is  $-CH_3$ , Z' is  $-CH_2$ -, and p is 1, then W, together with > CH and > C = X, does not form an 2,3-dihydro-1-(t-butylC(O)CH<sub>2</sub>-)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

XXX. when  $R^1$  is 4-ethoxyphenyl, 2,4,6-trimethylphenyl, 4-phenylphenyl,  $CH_3OC(O)CH_2$ -, 4-HOCH<sub>2</sub>-phenyl, 2,4,6-trifluorophenyl,

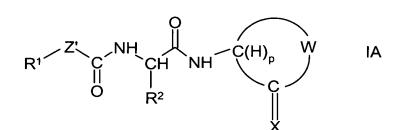
2-trifluoromethyl-4-fluorophenyl, or  $CH_3S$ -,  $R^2$  is  $-CH_3$ , Z' is  $-CH_2$ -, and p is 1, then W, together with >CH and >C=X, does not form a 2,3-dihydro-1-(N,N-diethylamino- $CH_2CH_2$ -)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

YYY. when  $R^1$  is 2,6-difluorophenyl,  $R^2$  is -CH<sub>3</sub>, Z' is -CH(OH)-, and p is 1, then W, together with > CH and > C=X, does not form a 2,3-dihydro-1-(N,N-diethylamino-CH<sub>2</sub>CH<sub>2</sub>-)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

ZZZ. when the ring defined by W and  $-C(H)_pC(=X)$ - forms a cycloalkyl, then it does not form a cycloalkyl of from 3 to 8 carbon atoms optionally substituted with 1 to 3 alkyl groups.

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120. (Currently Amended) A method for treating a human subject with AD in order to inhibit further deterioration in the condition of said human subject which method comprises administering to said subject a pharmaceutical composition comprising a pharmaceutically inert carrier and an effective amount of a compound or a mixture of compounds of formula IA:



wherein R<sup>1</sup> is selected from the group consisting of:

- A) alkyl of from 1 to 10 carbon atoms;
- B) alkenyl of from 2 to 10 carbon atoms and 1-2 sites of alkenyl unsaturation;
- C) alkynyl of from 2 to 10 carbon atoms and from 1-2 sites of alkynyl unsaturation;
- D) cycloalkyl of from 3 to 12 carbon atoms;
- E) cycloalkenyl of from 4 to 8 carbon atoms;
- F) substituted alkyl of from 1 to 10 carbon atoms, having from 1 to 5 substituents selected from:
  - 1) alkoxy of from 1 to 10 carbon atoms;
  - 2) substituted alkoxy of the formula substituted alkyl-O- where substituted alkyl is as defined in F herein;
  - 3) cycloalkyl which is as defined in D herein;
  - 4) substituted cycloalkyl is defined in I herein;
  - 5) cycloalkenyl which is defined in E herein;
  - 6) substituted cycloalkenyl which is defined in J herein;
  - 7) acyl selected from alkyl-C(O)-, substituted alkyl-C(O)-,

cycloalkyl-C(O)-, substituted cycloalkyl-C(O)-, aryl-C(O)-, heteroaryl-C(O)- and heterocyclic-C(O)- wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein cycloalkyl is defined in D herein; wherein substituted cycloalkyl is defined in I herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;

- 8) acylamino having the formula -C(O)NRR where each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, or heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;
- 9) acyloxy selected from alkyl-C(O)O-, substituted alkyl-C(O)O-, cycloalkyl-C(O)O-, aryl-C(O)O-, heteroaryl-C(O)O-, and heterocyclic-C(O)O- wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein cycloalkyl is defined in D herein; wherein aryl is defined in F21 herein; wherein heterocyclic is defined in F23 herein;
- 10) amino;
- aminoacyl having the formula -NRC(O)R wherein each R is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, and heterocyclic; wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;
- aminoacyloxy having the formula -NRC(O)OR wherein each R is independently selected from the group consisting of hydrogen, alkyl,



substituted alkyl, aryl, heteroaryl, and heterocyclic; wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;

- 13) cyano;
- 14) halogen;
- 15) hydroxyl;
- 16) carboxyl;
- 17) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
- 18) thiol;
- 19) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
- substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
- 21) aryl having from 6 to 14 ring carbon atoms, optionally substituted with from 1 to 5 substituents selected from the group consisting of:
  - a) hydroxy;
  - b) acyl as defined in F7 herein;
  - c) acyloxy as defined in F9 herein;
  - d) alkyl as defined in A herein;
  - e) substituted alkyl as defined in F herein;
  - f) alkoxy as defined in F1 herein;
  - g) substituted alkoxy as defined in F2 herein;
  - h) alkenyl as defined in B herein;
  - i) substituted alkenyl as defined in G herein;
  - j) alkynyl as defined in C herein;
  - k) substituted alkynyl as defined in H herein;
  - l) amino;



- m) aminoacyl as defined in F11 herein;
- n) acylamino as defined in F8 herein;
- o) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F21 herein;
- p) aryl as defined in F21 herein;
- q) aryloxy having the formula -O-aryl wherein aryl is defined in F21 herein;
- r) azido;
- s) carboxyl;
- t) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
- u) cyano;
- v) halo selected from fluoro, chloro, bromo and iodo;
- w) nitro;
- x) heteroaryl as defined in F22 herein;
- y) heterocyclic as defined in F23 herein;
- z) aminoacyloxy as defined in F12 herein;
- aa) oxyacylamino having the formula -OC(O)NRR where each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, or heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;
- bb) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
- cc) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;

- dd) thioaryloxy having the formula -S-aryl wherein aryl is defined in F21 herein;
- ee) thioheteroaryloxy having the formula -S-heteroaryl wherein heteroaryl is defined F22 herein;
- ff) -SO-alkyl wherein alkyl is defined in A herein;
- gg) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
- hh) -SO-aryl wherein aryl is defined in F21 herein;
- ii) -SO-heteroaryl wherein heteroaryl is defined in F22 herein;
- jj) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
- kk) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
- 11) -SO<sub>2</sub>-aryl wherein aryl is defined in F21 herein;
- mm) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F22 herein;
- nn) trihalomethyl wherein halo is defined in I20 herein;
- oo) mono- and dialkylamino wherein alkyl is defined in A herein;
- pp) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
- qq) mono- and di-arylamino wherein aryl is defined in F21 herein;
- rr) mono- and di-heteroarylamino wherein heteroaryl is defined in F22 herein;
- ss) mono- and di-heterocyclicamino wherein heterocyclic is defined in F23 herein;
- tt) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;



- heteroaryl of from 1 to 15 ring carbon atoms and 1 to 4 ring heteroatoms selected from oxygen, nitrogen and sulfur, optionally substituted with from 1 to 5 substituents selected from:
  - a) alkyl as defined in A herein;
  - b) substituted alkyl as defined in F herein;
  - c) alkoxy as defined in F1 herein;
  - d) substituted alkoxy as defined in F2 herein;
  - e) aryl as defined in F21 herein;
  - f) aryloxy having the formula -O-aryl wherein aryl is defined in F21 herein;
  - g) halo selected from fluoro, chloro, bromo and iodo;
  - h) nitro;
  - i) heteroaryl as defined in F22 herein;
  - j) thiol;
  - k) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
  - substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
  - m) thioaryloxy having the formula -S-aryl wherein aryl is defined in F21 herein; and
  - n) trihalomethyl wherein halo is defined in I20 herein;
- 23) heterocyclic of from 1 to 15 ring carbon atoms and from 1 to 4 ring atoms selected from nitrogen, sulfur and oxygen, optionally substituted with from 1 to 5 substituents selected from:
  - a) alkyl as defined in A herein;
  - b) substituted alkyl as defined in F herein;
  - c) alkoxy as defined in F1 herein;
  - d) substituted alkoxy as defined in F2 herein;
  - e) aryl as defined in F21 herein;



- f) aryloxy having the formula -O-aryl wherein aryl is defined in F21 herein;
- g) halo selected from fluoro, chloro, bromo and iodo;
- h) nitro;
- i) heteroaryl as defined in F22 herein;
- j) thiol;
- thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
- substituted thioalkoxy having the formula -S-substituted alkyl,
  wherein substituted alkyl is defined in F herein;
- m) thioaryloxy having the formula -S-aryl wherein aryl is defined in F21 herein; and
- n) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- 24) aryloxy of the formula -O-aryl wherein aryl is defined in F21 herein;
- 25) heteroaryloxy of the formula -O-heteroaryl wherein heteroaryl is defined in F22 herein;
- 26) hydroxyamino;
- 27) alkoxyamino wherein alkoxy is defined in F1 herein;
- 28) nitro;
- 29) -SO-alkyl wherein alkyl is defined in A herein;
- 30) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
- 31) -SO-aryl wherein aryl is defined in F21 herein;
- 32) -SO-heteroaryl wherein heteroaryl is defined in F22 herein;
- 33) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
- -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
- 35) -SO<sub>2</sub>-aryl wherein aryl is defined in F21 herein;
- 36) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F22 herein;
- 37) mono- and dialkylamino wherein alkyl is defined in A herein;



- 38) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
- 39) mono- and di-arylamino wherein aryl is defined in F21 herein;
- 40) mono- and di-heteroarylamino wherein heteroaryl is defined in F22 herein;
- 41) mono- and di-heterocyclicamino wherein heterocyclic is defined in F23 herein;
- 42) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;
- G) substituted alkenyl having from 1 to 3 substituents selected from the group consisting of:
  - 1) alkoxy as defined in F1 herein;
  - 2) substituted alkoxy as defined in F2 herein;
  - 3) acyl as defined in F7 herein;
  - 4) acylamino as defined in F8 herein;
  - 5) acyloxy as defined in F9 herein;
  - 6) amino;
  - 7) aminoacyl as defined in F11 herein;
  - 8) aminoacyloxy as defined in F12 herein;
  - 9) cyano;
  - 10) halogen selected from fluoro, chloro, bromo and iodo;
  - 11) hydroxyl;
  - 12) carboxyl;
  - 13) carboxylalkyl as defined in F17 herein;
  - 14) thiol;



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- 15) thioalkoxy as defined in F19 herein;
- 16) substituted thioalkoxy as defined in F20 herein;
- 17) aryl as defined in F21 herein;
- 18) heteroaryl as defined in F22 herein;
- 19) heterocyclic as defined in F23 herein;
- 20) nitro;
- 21) -SO-alkyl wherein alkyl is defined in A herein;
- 22) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
- 23) -SO-aryl wherein aryl is defined in F21 herein;
- -SO-heteroaryl wherein heteroaryl is defined in F23 herein;
- 25) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
- 26) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
- 27) -SO<sub>2</sub>-aryl wherein aryl is defined in F21 herein;
- 28) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F22 herein;
- 29) mono- and dialkylamino wherein alkyl is defined in A herein;
- 30) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
- 31) mono- and di-arylamino wherein aryl is defined in F21 herein;
- 32) mono- and di-heteroarylamino wherein heteroaryl is defined in F22 herein;
- 33) mono- and di-heterocyclicamino wherein heterocyclic is defined in F23 herein; and
- unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;
- H) substituted alkynyl of from 1 to 3 substituents selected from:



- 1) alkoxy as defined in F1 herein;
- 2) substituted alkoxy as defined in F2 herein;
- 3) acyl as defined in F7 herein;
- 4) acylamino as defined in F8 herein;
- 5) acyloxy as defined in F9 herein;
- 6) amino;
- 7) aminoacyl as defined in F11 herein;
- 8) aminoacyloxy as defined in F12 herein;
- 9) cyano;
- 10) halogen selected from fluoro, chloro, bromo and iodo;
- 11) hydroxyl;
- 12) carboxyl;
- 13) carboxylalkyl as defined in F17 herein;
- 14) thiol;
- 15) thioalkoxy as defined in F19 herein;
- 16) substituted thioalkoxy as defined in F20 herein;
- 17) aryl as defined in F21 herein;
- 18) heteroaryl as defined in F22 herein;
- 19) heterocyclic as defined in F23 herein;
- 20) nitro;
- 21) -SO-alkyl wherein alkyl is defined in A herein;
- 22) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
- 23) -SO-aryl wherein aryl is defined in F21 herein;
- 24) -SO-heteroaryl wherein heteroaryl is defined in F22 herein;
- 25) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
- 26) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
- 27) -SO<sub>2</sub>-aryl wherein aryl is defined in F21 herein;
- 28) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F22 herein;
- 29) mono- and dialkylamino wherein alkyl is defined in A herein;

- 30) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
- 31) mono- and di-arylamino wherein aryl is defined in F21 herein;
- 32) mono- and di-heteroarylamino wherein heteroaryl is defined in F22 herein;
- mono- and di-heterocyclicamino wherein heterocyclic is defined in F23 herein; and
- unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;
- I) substituted cycloalkyl having 3 to 12 carbon atoms and from 1 to 5 substituents selected from the group consisting of:
  - 1) hydroxy;
  - 2) acyl as defined in F7 herein;
  - 3) acyloxy as defined in F9 herein;
  - 4) alkyl as defined in A herein;
  - 5) substituted alkyl as defined in F herein;
  - 6) alkoxy as defined in F1 herein;
  - 7) substituted alkoxy as defined in F2 herein;
  - 8) alkenyl as defined in B herein;
  - 9) substituted alkenyl as defined in G herein;
  - 10) alkynyl as defined in C herein;
  - 11) substituted alkynyl as defined in H herein;
  - 12) amino;
  - 13) aminoacyl as defined in F11 herein;



- alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F21 herein;
- 15) aryl as defined in F21 herein;
- aryloxy having the formula -O-aryl wherein aryl is defined in F21 herein;
- 17) carboxyl;
- 18) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
- 19) cyano;
- 20) halo selected from fluoro, chloro, bromo and iodo;
- 21) nitro;
- 22) heteroaryl as defined in F22 herein;
- 23) thioalkoxy as defined in F19 herein;
- 24) substituted thioalkoxy as defined in F20 herein; and
- trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- J) substituted cycloalkenyl having from 4 to 8 carbon atoms and from 1 to 5 substituents selected from the group consisting of:
  - 1) hydroxy;
  - 2) acyl as defined in F7 herein;
  - 3) acyloxy as defined in F9 herein;
  - 4) alkyl as defined in A herein;
  - 5) substituted alkyl as defined in F herein;
  - 6) alkoxy as defined in F1 herein;
  - 7) substituted alkoxy as defined in F2 herein;
  - 8) alkenyl as defined in B herein;
  - 9) substituted alkenyl as defined in G herein;
  - 10) alkynyl as defined in C herein;
  - 11) substituted alkynyl as defined in H herein;



- 12) amino;
- 13) aminoacyl as defined in F11 herein;
- alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F21 herein;
- 15) aryl as defined in F21 herein;
- aryloxy having the formula -O-aryl wherein aryl is defined in F21 herein;
- 17) carboxyl;
- 18) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
- 19) cyano;
- 20) halo selected from fluoro, chloro, bromo and iodo;
- 21) nitro;
- 22) heteroaryl as defined in F22 herein;
- 23) thioalkoxy as defined in F19 herein;
- 24) substituted thioalkoxy as defined in F20 herein; and
- trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- K) aryl as defined in F21 herein;
- L) heteroaryl as defined in F22 herein; and
- M) heterocyclic as defined in F23 herein;



Z' is represented by the formula -CX'X''-,  $-T-CH_2-$  or -T-C(O)- where T is selected from the group consisting of oxygen, sulfur,  $-NR^5$  where  $R^5$  is:

- N) hydrogen;
- O) acyl as defined in F7 herein;
- P) alkyl as defined in A herein;
- Q) aryl as defined in F21 herein; or
- R) heteroaryl as defined in F22 herein;

X' is hydrogen, hydroxy or fluoro; X'' is hydrogen, hydroxy or fluoro, or X' and X'' together form an oxo group;

R<sup>2</sup> is selected from the group consisting of:

- S) alkyl as defined in A herein;
- T) alkenyl as defined in B herein;
- U) alkynyl as defined in C herein;
- V) substituted alkyl as defined in F herein;
- W) substituted alkenyl as defined in G herein;
- X) substituted alkynyl as defined in H herein;
- Y) cycloalkyl as defined in D herein;
- Z) aryl as defined in F21 herein;
- AA) heteroaryl as defined in F22 herein;
- BB) heterocyclic as defined in F23 herein;
- BB<sup>1</sup>) 2-aminopyrid-6-yl;
- BB<sup>2</sup>) 2-methylcyclopentyl;
- BB<sup>3</sup>) cyclohex-2-enyl; and
- $BB^4$ ) -( $CH_2$ )<sub>4</sub>NHC(O)OC( $CH_3$ )<sub>3</sub>

W, together with  $-C(H)_pC(=X)$ -, forms a:

- CC) cycloalkyl as defined in D herein;
- DD) cycloalkenyl as defined in E herein;
- EE) heterocyclic as defined in F23 herein;
- FF) substituted cycloalkyl as defined in I herein; or
- GG) substituted cycloalkenyl group as defined in J herein;

wherein each of said cycloalkyl, cycloalkenyl, heterocyclic, substituted cycloalkyl or substituted cycloalkenyl group is optionally fused to form a bi- or multi-fused ring system with one or more ring structures selected from the group consisting of:

- HH) cycloalkyl as defined in D herein;
- II) cycloalkenyl as defined in E herein;
- JJ) heterocyclic as defined in F23 herein;
- KK) aryl as defined in F21 herein; and
- LL) heteroaryl as defined in F22 herein;

which, in turn, each of such ring structures is optionally substituted with 1 to 4 substituents selected from the group consisting of:

- MM) hydroxyl;
- NN) halo as defined in F21 herein;
- OO) alkoxy as defined in F1 herein;
- PP) substituted alkoxy as defined in F2 herein;
- QQ) thioalkoxy as defined in F19 herein;
- RR) substituted thioalkoxy as defined in F20 herein;
- SS) nitro;
- TT) cyano;
- UU) carboxyl;
- VV) carboxyl esters;
- WW) alkyl as defined in A herein;
- XX) substituted alkyl as defined in F herein;
- YY) alkenyl as defined in B herein;



- ZZ) substituted alkenyl as defined in G herein;
- AAA) alkynyl as defined in C herein;
- BBB) substituted alkynyl as defined in H herein;
- CCC) amino;
- DDD) N-alkyl amino wherein alkyl is defined in A herein;
- EEE) N,N-dialkyl amino wherein alkyl is defined in A herein;
- FFF) N-substituted alkylamino wherein alkyl is defined in A herein;
- GGG) N-alkyl N-substituted alkylamino wherein alkyl is defined in A herein;
- HHH) N,N-disubstituted alkyl amino;
- III) -NHC(O)R<sup>4</sup> where each R<sup>4</sup> is independently selected from the group consisting of:
  - 1) alkyl as defined in A herein;
  - 2) substituted alkyl as defined in F herein;
  - 3) aryl as defined in F21 herein;
- JJJ) -NHSO<sub>2</sub>R<sup>4</sup> wherein R<sup>4</sup> is defined in III herein;
- KKK)  $-C(O)NH_2$ ;
- LLL) -C(O)NHR<sup>4</sup> where R<sup>4</sup> is defined in III herein;
- MMM) -C(O)NR<sup>4</sup>R<sup>4</sup> where R<sup>4</sup> is defined in III herein:
- NNN) -S(O)R<sup>4</sup> where R<sup>4</sup> is defined in III herein;
- OOO) -S(O)<sub>2</sub>R<sup>4</sup> where R<sup>4</sup> is defined in III herein;
- PPP) -S(O)<sub>2</sub>NHR<sup>4</sup> where R<sup>4</sup> is defined in III herein; and
- QQQ) -S(O)<sub>2</sub>NR<sup>4</sup>R<sup>4</sup> where R<sup>4</sup> is defined in III herein;

X is selected from the group consisting of oxo (=0), thiooxo (=S), hydroxyl (-H, -OH), thiol (H, -SH) and hydro (H, H);

p is an integer equal to 0 or 1 such that when p is zero, the ring defined by W and  $-C(H)_pC(=X)$ - is unsaturated at the carbon atom of ring attachment to NH and when p is one, the ring is saturated at the carbon atom of ring attachment to NH;



or pharmaceutically acceptable salts thereof;

with the following provisos:

RRR. when  $R^1$  is 3,5-difluorophenyl,  $R^2$  is -CH<sub>3</sub>, Z' is -CH<sub>2</sub>-, and p is 1, then W, together with >CH and >C=X, does not form a 2-(S)-indanol group;

SSS. when  $R^1$  is phenyl,  $R^2$  is  $-CH_3$ , Z' is  $-CH_2$ -, p is 1, then W, together with > CH and > C = X, does not form a trans-2-hydroxy-cyclohex-1-yl group;

TTT. when  $R^1$  is cyclopropyl,  $R^2$  is  $-CH_3$ , Z' is  $-CH_2$ -, and p is 1, then W, together with >CH and >C=X, does not form an N-methylcaprolactam group;

UUU. when  $R^1$  is 4-chlorobenzoyl- $CH_{2^-}$ ,  $R^2$  is  $-CH_3$ , Z' is  $-CH_{2^-}$ , and p is 1, then W, together with > CH and > C=X, does not form an 2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one;

VVV. when  $R^1$  is 2-phenylphenyl,  $R^2$  is  $-CH_3$ , Z' is  $-CH_2$ -, and p is 1, then W, together with >CH and >C=X, does not form an 7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one;

WWW. when  $R^1$  is  $CH_3OC(O)CH_2$ -,  $R^2$  is  $-CH_3$ , Z' is  $-CH_2$ -, and p is 1, then W, together with > CH and > C = X, does not form an 2,3-dihydro-1-(t-butylC(O)CH<sub>2</sub>-)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

XXX. when  $R^1$  is 4-ethoxyphenyl, 2,4,6-trimethylphenyl, 4-phenylphenyl,  $CH_3OC(O)CH_2$ -, 4-HOCH<sub>2</sub>-phenyl, 2,4,6-trifluorophenyl,

2-trifluoromethyl-4-fluorophenyl, or  $CH_3S$ -,  $R^2$  is  $-CH_3$ , Z' is  $-CH_2$ -, and p is 1, then W, together with > CH and > C = X, does not form a 2,3-dihydro-1-(N,N-diethylamino- $CH_2CH_2$ -)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

YYY. when  $R^1$  is 2,6-difluorophenyl,  $R^2$  is -CH<sub>3</sub>, Z' is -CH(OH)-, and p is 1, then W, together with >CH and >C=X, does not form a 2,3-dihydro-1-(N,N-diethylamino-CH<sub>2</sub>CH<sub>2</sub>-)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one; and

ZZZ. when the ring defined by W and  $-C(H)_pC(=X)$ - forms a cycloalkyl, then it does not form a cycloalkyl of from 3 to 8 carbon atoms optionally substituted with 1 to 3 alkyl groups.

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121-130. Canceled.